

What is claimed is

1. A method of preparing a pharmaceutic end formulation using a nanodispersion, which comprises

- (a) a membrane-forming molecule,
- (b) a coemulsifier and
- (c) a lipophilic component,

by

(α) mixing the components (a), (b) and (c) until a homogeneous clear liquid is obtained (so-called nanodispersion prephase), and

(β) adding the liquid obtained in step (α) to the water phase of the pharmaceutical end formulations, steps (α) and (β) being carried out without any additional supply of energy.

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2. A Method according to claim 1, which is characterised in that step (α) is carried out in an anhydrous medium.

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3. A Method according to claim 1, which is characterised in that step (β) is carried out without homogenisation.

4. A Method according to claim 1, which is characterised in that the particles in the nanodispersion have an average diameter of < 50 nm.

5. A Method according to claim 1, which is characterised in that the nanodispersion comprises,

- (a) as membrane-forming molecules, substances which are suitable for forming bilayers,
- (b) as coemulsifiers, substances which preferably form O/W structures and,
- (c) as lipophilic component, a lipophilic active agent.

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6. A Method according to claim 4, which is characterised in that the nanodispersion comprises as component

- (a) a phospholipid, a hydrated or partially hydrated phospholipid, a lysophospholipid, a ceramide or mixtures thereof.

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7. A Method according to claim 6, which is characterised in that the component (a) is present in the nanodispersion in a concentration of 0.1 to 30 % by weight, based on the total weight of the components (a), (b) and (c).

8. A Method according to claim 1, which is characterised in that the nanodispersion comprises as component

(b) an emulsifier of the polyoxethylene type, saturated and unsaturated C₈-C₁₈alkylsulfates, the alkali metal, ammonium or amine salts of C₈-C₂₀fatty acids, C₈-C₂₀alkanesulfonates, fatty alcohol phosphorates, the salts of colic acid, invert soaps (quats); partial fatty acid esters of sorbitan, sugar esters of fatty acids, fatty acid partial glycerides, alkylmaltosides, alkylglucosides, C₈-C₁₈betaines, C₈-C₁₈sulfobetaines or C₈-C₂₄alkylamido-C₁-C₄alkylenebetaines, proteins, polyglycerol esters of fatty acids, propylene glycol esters of fatty acids, lactates of fatty acids or a mixture of these substances.

9. A Method according to claim 8, which is characterised in that the nanodispersion comprises as component

(b) at least one emulsifier of the polyoxethylene type.

10. A Method according to claim 9, which is characterised in that the nanodispersion comprises as component (b)

polyethoxylated sorbitan fatty acid esters, polyethoxylated fatty alcohols, polyethoxylated fatty acids, polyethoxylated vitamin E derivatives, polyethoxylated lanolin and the derivatives thereof, polyethoxylated fatty acid partial glycerides, polyethoxylated alkylphenols, sulfuric acid semiesters, polyethoxylated fatty alcohols and the salts thereof, polyethoxylated fatty amines and fatty acid amides, polyethoxylated carbohydrates, block polymers of ethylene oxide and propylene oxide.

A
B
Sub C2

11. A Method according to claim 1, which is characterised in that component (b) is present in the nanodispersion used according to this invention in a concentration of 1 to 50 % by weight, based on the total weight of the components (a), (b) and (c).

12. A Method according to claim 1, which is characterised in that the nanodispersion comprises as component

(c) a natural or synthetic or a partially synthetic di- or triglyceride, mineral oil, silicone oil, wax, fatty alcohol, guerbet alcohol or the ester thereof, a lipophilic functional pharmaceutical active agent or a mixture of these substances.

13. A Method according to claim 1, which is characterised in that component (c) is present in the nanodispersion used according to this invention in a concentration of 0.1 to 80 % by weight, based on the total weight of the components (a), (b) and (c).

14. A Method according to claim 1, which is characterised in that the nanodispersion comprises as component

(d) a C₂-C₈alcohol.

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15. A Method according to claim 1, which is characterised in that the pharmaceutical end formulation is a liquid, semisolid or solid preparation.

16. A pharmaceutical liquid end formulation in the form of an injectable solution, infusion solution, drops, spray, aerosol, emulsion, lotion, suspension, drinking solution, gargle or inhalant, which comprises a nanodispersion as defined in claim 1. 28

17. A pharmaceutical semisolid end formulation in the form of an ointment, cream (O/W emulsions), rich cream (W/O emulsions), gel, lotion, foam, paste, suspension, ovula or plaster, which comprises a nanodispersion as defined in claim 1.

18. A pharmaceutical solid end formulation in the form of a tablet, coated tablet, capsule, granules, effervescent granules, effervescent tablet, lozenge, sucking and chewing tablet, suppositories, implant, lyophilisate, adsorbate or powder, which comprises a nanodispersion as defined in claim 1. 28

19. A matrix- or membrane-controlled pharmaceutical application system in the form of an oros capsule, transdermal system, injectable microcapsule, which comprises a nanodispersion as defined in claim 28 1

20. A pharmaceutical end formulation according to claim 16, wherein the nanodispersion is present in the aqueous phase.

21. A pharmaceutical end formulation according to claim 16, wherein the nanodispersion is present in the aqueous phase in a concentration of 0.01 to 100 % by weight.

22. A pharmaceutical end formulation according to claim 18, wherein the nanodispersion is present per se.

23. A pharmaceutical end formulation according to claim 16, wherein the nanodispersion prephase is present per se.

24. A pharmaceutical end formulation according to claim 18, wherein the nanodispersion is present in dehydrated form.

25. A nanodispersion prephase, which is obtained by mixing the components

- (a) membrane-forming molecule,
- (b) coemulsifier and
- (c) lipophilic component

until a homogeneous clear liquid is obtained, mixing being carried out in anhydrous medium.

26. A nanodispersion prephase according to claim 25, which is characterised in that mixing is carried out without any additional supply of energy.

27. A nanodispersion, which comprises

- (a) a membrane-forming molecule,
- (b) a coemulsifier and
- (c) a lipophilic component,

which is obtainable by

- (α) mixing the components (a), (b) and (c) until a homogeneous clear liquid is obtained, and
- (β) adding the liquid obtained in step (α) to the water phase, steps (α) and (β) being carried out without additional supply of energy.

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